


<p>99-11726/21 B12 BOOFF 93.10.13 BOOTS CO PLC *W9510521-A1 93.10.13 93GB-021162 (95.04.22) C07D 487/04, A61K 31/505 (C07D 239.00, A61K 00.487/04)</p> <p>New use of 1,2,4-triazolo[1,5-d]pyrimidine cpts. - for treatment and/or prevention of seizure, epilepsy and neurological damage e.g. stroke, brain trauma, head injury or laceration. (Eug) C95-074901 N(A)M A T U B B B G B R B Y C A C H Q N C Z B D E K B E E S F B G B E H U J P K E K G K P K S Z L K L R L T J L V L M D M G A N M W N L N O N Z N P L P T O R U S D S E S I S K T I T U A U S U Z V N R K A T B E C H D N E S F R G B R E I T E K E L U M C M W N L O A P T S D S E S D</p> <p>Addl. Data: FHEAL D 1, FERNANDEZ FERNANDEZ M I, SARGENT B 94.10.12 94WO-EP03364</p>	<p>B/6-D9, 14-17, A4-N10) 3</p> <p>prepn.</p> <p>(X1)</p> 
<p>1,2,4-triazolo[1,5-d]pyrimidine cpts. of formula (II) and their salts are new;</p> <p>R₁ = H or 1-6C alkyl, 1-6C alkoxy or 1-6C alkanoyl opt. subst. by one or more of halo, CN, OH or NH₂;</p> <p>R₂, R₃ = H or 1-6C alkyl, 1-6C alkoxy, 1-6C alkanoyl, 1-6C althio, 1-6C althioalkyl or 1-6C althioalkenyl opt. subst. by one or more of halo, CN, OH or NH₂;</p>	<p>R₄, R₅ = H, 1-6C alkyl, opt. subst. by one or more of halo, CN, OH, NH₂ or 1-6C alkyl; or</p> <p> W 9510521-A-4</p>

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CRAs: 2-6C cycloalkylalkoxy opt. subst. by one or more of halo, CN, OH, NH or 1-6C alkyl.
 $R_1, R_2, R_3 = H$, halo, OH, SH, CN or 1-6C alkyl; 1-6C alkoxy; 1-6C alkoxy; 2-6C alkylaryloxy; carbonyl, 1-6C alkoxy; 1-6C alkythio, 1-6C alkythioalkyl, 1-6C alkythioalkoxy, 1-6C alkythioalkylthio, sulphonyl, carbonyl, 2-6C alkythioalkoxy or 1-6C alkoxyalkoxy opt. subst. by one or more of halo, CN, OH or amino and any N atom if opt. subst. by one or more 1-6C alkyl;
 with the proviso that if R_1, R_2, R_3, R_4 and $R_5 = H$; $R_3 = Me$ and either $R_4, R_5 = H$ or $R_4 = 6$ -chloro and R_5 is H or 2-chloro then cpx. (II) is not a necazetate.
 Also claimed is the use of cpxs. (I), which are cpxs. (II) excluding the proviso, as pharmaceuticals.

USE
 Cpxs. (I) and (II) can be used for the treatment, prophylaxis and/or inhibition of certain neurological disorders such as epilepsy and/or conditions in which there is neurological damage, e.g. stroke, brain tumour, head injuries and haemorrhage. Cpxs. (I) and (II) potentiate GABA-A transmission and/or activate neuronal K^+ channels.

Admin. may be oral, rectal, parenteral or topical. Typical unit dosage is 1-1,000 mg. pref. 5-500 mg.

SPECIFIC COMPOUNDS
 21 cpxs. (I) are claimed e.g.:
 7(1)-(4-fluorophenyl)ethyl-1,2,4-triazole[1,5-*a*]pyrimidine (IIa);
 7(1)-(4-methylphenyl)ethyl-1,2,4-triazole[1,5-*a*]pyrimidine;
 7(1)-(2-chloro-4-fluorophenyl)ethyl-1,2,4-triazole[1,5-*a*]pyrimidine.

PREPARATION
 Cpxs. (II) are prepd. as follows (claimed):
 (a)

[WD 951051-A-6]

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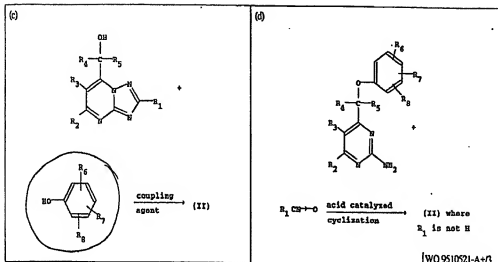
Y = a leaving gp.

(b)

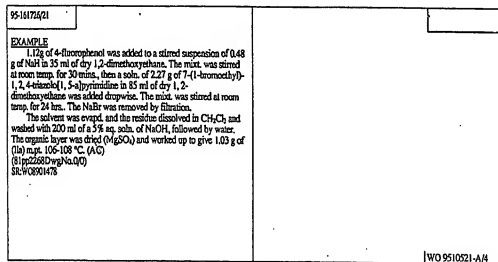
Z = a leaving gp.

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